(19) World Intellectual Property Organization International Bureau





(43) International Publication Date 21 July 2005 (21.07.2005)

PCT

(10) International Publication Number WO 2005/065778 A1

(51) International Patent Classification⁷: C07D 207/26, 307/33

A61P 19/08,

(21) International Application Number:

PCT/CA2005/000008

(22) International Filing Date: 6 January 2005 (06.01.2005)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

60/535,170

8 January 2004 (08.01.2004) US

- (71) Applicant (for all designated States except US): MERCK FROSST CANADA & CO. [CA/CA]; 16711 Trans-Canada Highway, Kirkland, Québec H9H 3L1 (CA).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): BAYLY, Christopher [CA/CA]; 16711 Trans-Canada Highway, Kirkland, Québec H9H 3L1 (CA). CRANE, Sheldon, N. [CA/CA]; 16711 Trans-Canada Highway, Kirkland, Québec H9H 3L1 (CA). LEGER, Serge [CA/CA]; 16711 Trans-Canada Highway, Kirkland, Québec H9H 3L1 (CA).
- (74) Agent: OGILVY RENAULT; Suite 1600, 1981 McGill College Avenue, Montréal, Québec H3A 2Y3 (CA).

- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: CATHEPSIN CYSTEINE PROTEASE INHIBITORS

(57) Abstract: The present invention relates to a novel class of compounds mainly, substituted leucinamide-carboxylate derivatives of formula (I) wherein X is O or NR⁹, Y is CR¹R², -SO², C=O or NR⁹; Z is CR¹R², O, S, -SO₂ or NR⁹ and each G is independently a CR¹CR² and pharmaceutical compositions thereof. Said compounds are cathepsin cysteine protease inhibitors, including but not limited to, inhibitors of cathepsin K, L, S and B. These compounds are useful for treating and preventing cathpesin dependent conditions in which inhibition of bone resorption is indicated, such as osteoporosis.

